This Page Is Inserted by IFW Operations
and is not a part of the Official Record

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

IMAGES ARE BEST AVAILABLE COPY.

As rescanning documents *will not* correct images, please do not report the images to the Image Problem Mailbox.

10/088543
JC10 Rec'd PCT/PTO 19 MAR 2002

DESCRIPTION

AROMATIC DIAMIDE DERIVATIVE OR SALT THEREOF, AGROHORTICULTURAL COMPOSITION AND METHOD FOR USE THEREOF

INS A1

TECHNICAL FIELD

The present invention relates to an aromatic diamide derivative or a salt thereof; an agrohorticultural composition, particularly an agrohorticultural insecticide both containing the derivative or the salt as an effective ingredient; and a method for using the same.

BACKGROUND ART

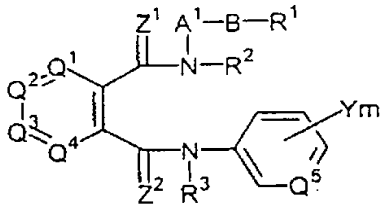
A compound similar to the aromatic diamide derivative represented by the general formula (I) of the present invention is disclosed in EP 919542 A2.

DISCLOSURE OF THE INVENTION

The present inventors made an intensive study in order to develop a novel agrohorticultural composition, particularly an agrohorticultural insecticide and, as a result, found out that an aromatic diamide derivative represented by the general formula (I) or a salt thereof according to the present invention is a novel compound not described in any literature and is useful as an agrohorticultural composition, particularly as an agrohorticultural

insecticide. The present invention has been completed based on the above finding.

The present invention relates to an aromatic diamide derivative represented by the following general formula (I) or a salt thereof; an agrohorticultural composition, particularly an agrohorticultural insecticide; and a method for using the insecticide:



(I)

{wherein A^1 is a (C_1-C_8)alkylene group; a substituted (C_1-C_8) alkylene group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, (C_1-C_6)alkylthio(C_1-C_6)alkyl groups, (C_1-C_6)alkoxycarbonyl groups and phenyl group; a (C_3-C_8)alkenylene group; a substituted (C_3-C_8)alkenylene group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups,

halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, (C_1-C_6)-alkylthio(C_1-C_6)alkyl groups, (C_1-C_6)alkoxycarbonyl groups and phenyl group; a (C_3-C_8)alkynylene group; or a substituted (C_3-C_8)alkynylene group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, (C_1-C_6)alkylthio(C_1-C_6)alkyl groups, (C_1-C_6)alkoxycarbonyl groups and phenyl group;

in the (C_1-C_8)alkylene group, the substituted (C_1-C_8) alkylene group, the (C_3-C_8)alkenylene group, the substituted (C_3-C_8) alkenylene group, the (C_3-C_8)-alkynylene group or the substituted (C_3-C_8)alkynylene group, any saturated carbon atom may be substituted with a (C_2-C_5)alkylene group to form a (C_3-C_6)cycloalkane ring; further in the (C_1-C_8)alkylene group, the substituted (C_1-C_8) alkylene group, the (C_3-C_8)alkenylene group or the substituted (C_3-C_8) alkenylene group, any two carbon atoms may be combined with an alkylene group or an alkenylene group to form a (C_3-C_6)cycloalkane ring or a (C_3-C_6)cycloalkene ring;

B is -CO- or -C(=N-OR^4)- (wherein R^4 is a hydrogen atom; a (C_1-C_6)alkyl group; a halo(C_1-C_6)alkyl

group; a (C_3-C_6)alkenyl group; a halo(C_3-C_6)alkenyl group; a (C_3-C_6)alkynyl group; a (C_3-C_6)cycloalkyl group; a phenyl(C_1-C_4)alkyl group; or a substituted phenyl(C_1-C_4)alkyl group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups);

R^1 is a hydrogen atom; a (C_1-C_6)alkyl group; a halo(C_1-C_6)alkyl group; a (C_2-C_6)alkenyl group; a halo(C_2-C_6)alkenyl group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkoxy group; a halo(C_1-C_6)alkoxy group; a (C_1-C_6)alkylthio group; a halo(C_1-C_6)alkylthio group; a mono(C_1-C_6)alkylamino group; a di(C_1-C_6)alkylamino group wherein the two alkyl groups may be the same or different; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-

alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a phenylamino group; a substituted phenylamino group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a phenyloxy group; a substituted phenyloxy group having one or more same or different substituents selected from halogen atoms, cyano groups, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a phenylthio group; a substituted phenylthio group having one or more same or

different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; or a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups;

R^1 may bond with A^1 to form a 4- to 7-membered ring which may contain, as a ring-constituting atom(s), one or two same or different atoms selected from oxygen, sulfur and nitrogen atoms;

R^2 and R^3 may be the same or different and are each a hydrogen atom, a (C_3-C_6)cycloalkyl group or $-A^2-R^5$ [wherein A^2 is $-C(=O)-$, $-C(=S)-$, $-C(=NR^6)-$ (wherein R^6 is

a hydrogen atom; a (C_1-C_6)alkyl group; a (C_1-C_6)alkoxy group; a mono(C_1-C_6)alkylamino group; a di(C_1-C_6)-alkylamino group wherein the two alkyl groups may be the same or different; a (C_1-C_6)alkoxycarbonyl group; a phenyl group; or a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups), a (C_1-C_8)alkylene group, a halo(C_1-C_8)alkylene group, a (C_3-C_6)alkenylene group, a halo(C_3-C_6)alkenylene group, a (C_3-C_6)alkynylene group or a halo(C_3-C_6)alkynylene group;

(1) when A^2 is -C(=O)-, -C(=S)- or -C(=NR^6)- (wherein R^6 has the same definition as given above), R^5 is a hydrogen atom; a (C_1-C_6)alkyl group; a halo(C_1-C_6)-alkyl group; a (C_1-C_6)alkoxy group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio

groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a heterocyclic group; a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; or $-A^3-R^7$ (wherein A^3 is -O-, -S- or $-N(R^8)-$ (wherein R^8 is a hydrogen atom; a (C_1-C_6)-alkylcarbonyl group; a halo(C_1-C_6)alkylcarbonyl group; a (C_1-C_6)alkoxycarbonyl group; a phenylcarbonyl group; a substituted phenylcarbonyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)-

alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a phenyl(C_1-C_4)-alkoxycarbonyl group; or a substituted phenyl(C_1-C_4)-alkoxycarbonyl group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)-alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)-alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups); and R^7 is a (C_1-C_6)alkyl group; a halo(C_1-C_6)alkyl group; a (C_3-C_6)alkenyl group; a halo(C_3-C_6)alkenyl group; a (C_3-C_6)alkynyl group; a halo(C_3-C_6)alkynyl group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkylcarbonyl group; a halo(C_1-C_6)alkylcarbonyl group; a (C_1-C_6)-alkoxycarbonyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)-

alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a phenyl(C_1-C_4)alkyl group; a substituted phenyl(C_1-C_4)alkyl group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)-alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; or a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups);

(2) when A^2 is a (C_1-C_8)alkylene group, a halo(C_1-C_8)alkylene group, a (C_3-C_6)alkenylene group, a

halo(C_3-C_6)alkenylene group, a (C_3-C_6)alkynylene group or a halo(C_3-C_6)alkynylene group, R^5 is a hydrogen atom; a halogen atom; a cyano group; a nitro group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkoxycarbonyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a heterocyclic group; a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; or $-A^4-R^9$ (wherein A^4 is -O-, -S-, -SO-, $-SO_2-$, $-N(R^8)-$ (R^8 has the same definition as given

above), -C(=O)- or -C(=NOR^4)- (R^4 has the same definition as given above);

(i) when A^4 is -O-, -S-, -SO-, -SO$_2$- or -N(R^8)- (R^8 has the same definition as given above), R^9 is a hydrogen atom; a (C$_1$-C$_6$)alkyl group; a halo(C$_1$-C$_6$)alkyl group; a (C$_3$-C$_6$)alkenyl group; a halo(C$_3$-C$_6$)alkenyl group; a (C$_3$-C$_6$)alkynyl group; a halo(C$_3$-C$_6$)alkynyl group; a (C$_3$-C$_6$)cycloalkyl group; a halo(C$_3$-C$_6$)cycloalkyl group; a (C$_1$-C$_6$)alkylcarbonyl group; a halo(C$_1$-C$_6$)-alkylcarbonyl group; a (C$_1$-C$_6$)alkoxycarbonyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C$_1$-C$_6$)alkyl groups, halo(C$_1$-C$_6$)alkyl groups, (C$_1$-C$_6$)alkoxy groups, halo(C$_1$-C$_6$)alkoxy groups, (C$_1$-C$_6$)alkylthio groups, halo(C$_1$-C$_6$)alkylthio groups, (C$_1$-C$_6$)alkylsulfinyl groups, halo(C$_1$-C$_6$)alkylsulfinyl groups, (C$_1$-C$_6$)alkylsulfonyl groups, halo(C$_1$-C$_6$)alkylsulfonyl groups, mono(C$_1$-C$_6$)-alkylamino groups, di(C$_1$-C$_6$)alkylamino groups wherein the two alkyl groups may be the same or different, and (C$_1$-C$_6$)alkoxycarbonyl groups; a phenyl(C$_1$-C$_4$)alkyl group; a substituted phenyl(C$_1$-C$_4$)alkyl group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C$_1$-C$_6$)alkyl groups, halo(C$_1$-C$_6$)alkyl groups, (C$_1$-C$_6$)-alkoxy groups, halo(C$_1$-C$_6$)alkoxy groups, (C$_1$-C$_6$)alkylthio groups, halo(C$_1$-C$_6$)alkylthio groups, (C$_1$-C$_6$)alkylsulfinyl groups, halo(C$_1$-C$_6$)alkylsulfinyl groups, (C$_1$-C$_6$)-

alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; or a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)-alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)-alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups;

(ii) when A^4 is -C(=O)- or -C(=N-OR^4)- (R^4 has the same definition as given above), R^9 is a hydrogen atom; a (C_1-C_6)alkyl group; a halo(C_1-C_6)alkyl group; a (C_2-C_6)alkenyl group; a halo(C_2-C_6)alkenyl group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkoxy group; a halo(C_1-C_6)alkoxy group; a (C_1-C_6)alkylthio group; a halo(C_1-C_6)alkylthio group; a mono(C_1-C_6)alkylamino group; a di(C_1-C_6)alkylamino group wherein the two alkyl groups may be the same or different; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)-

alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)-alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a phenylamino group; a substituted phenylamino group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)-alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a phenyloxy group; a substituted phenyloxy group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)-alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)-alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or

different, and (C_1-C_6)alkoxycarbonyl groups; a phenylthio group; a substituted phenylthio group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)-alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; or a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)-alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups)];

R^2 may bond with A^1 or R^1 to form a 4- to 7-membered ring which may contain, as a ring-constituting atom(s), one or two same or different atoms selected from oxygen, sulfur and nitrogen atoms;

Q^1 to Q^4 may be the same or different and are each a nitrogen atom or a carbon atom which may be substituted with X, and X may be the same or different, and is a halogen atom; a cyano group; a nitro group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkoxycarbonyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a heterocyclic group; a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; or $-A^5-R^{10}$ [wherein A^5 is -O-,

-S-, -SO-, $-SO_2-$, -C(=O)-, $-C(=NOR^4)-$ (R^4 has the same definition as given above), a (C_1-C_6)alkylene group, a halo(C_1-C_6)alkylene group, a (C_2-C_6)alkenylene group, a halo(C_2-C_6)alkenylene group, a (C_2-C_6)alkynylene group or a halo(C_2-C_6)alkynylene group;

(1) when A^5 is -O-, -S-, -SO- or $-SO_2-$, R^{10} is a halo(C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkenyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)-alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)-alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)-alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)-alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or

different, and (C_1-C_6)alkoxycarbonyl groups; or -A^6-R^{11} (wherein A^6 is a (C_1-C_6)alkylene group, a halo(C_1-C_6)-alkylene group, a (C_3-C_6)alkenylene group, a halo(C_3-C_6)alkenylene group, a (C_3-C_6)alkynylene group or a halo(C_3-C_6)alkynylene group, and R^{11} is a hydrogen atom; a halogen atom; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkoxycarbonyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)-alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; or -A^7-R^{12} (wherein A^7 is -O-, -S-, -SO- or -SO_2-, and R^{12} is a (C_1-C_6)alkyl group; a halo(C_1-C_6)alkyl group; a (C_3-C_6)alkenyl group; a halo(C_3-C_6)alkenyl group; a (C_3-C_6)alkynyl group; a halo(C_3-C_6)alkynyl group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio

groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a heterocyclic group; or a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups));

(2) when A^5 is $-C(=O)-$ or $-C(=NOR^4)-$ (R^4 has the same definition as given above), R^{10} is a (C_1-C_6)-alkyl group; a halo(C_1-C_6)alkyl group; a (C_2-C_6)alkenyl group; a halo(C_2-C_6)alkenyl group; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkoxy group; a (C_1-C_6)alkylthio group; a mono(C_1-C_6)alkylamino group; a di(C_1-C_6)alkylamino group wherein the two alkyl groups may be the same or different; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo$(C_1-$

C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a phenylamino group; a substituted phenylamino group having, on the ring, one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)-alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; or a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl

groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups;

(3) when A^5 is a (C_1-C_6)alkylene group, a halo(C_1-C_6)alkylene group, a (C_2-C_6)alkenylene group, a halo(C_2-C_6)alkenylene group, a (C_2-C_6)alkynylene group or a halo(C_2-C_6)alkynylene group, R^{10} is a hydrogen atom; a halogen atom; a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)-cycloalkyl group; a (C_1-C_6)alkoxycarbonyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)-alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl

groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; or -A^8-R^{13} (wherein A^8 is -O-, -S-, -SO- or -SO_2-, and R^{13} is a (C_3-C_6)cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a heterocyclic group; a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; or -A^9-R^{14} (wherein A^9 is a (C_1-C_6)alkylene group, a halo(C_1-C_6)alkylene group, a (C_2-C_6)alkenylene group, a halo(C_2-C_6)alkenylene group, a

(C_2-C_6)alkynylene group or a halo(C_3-C_5)alkynylene group, and R^{14} is a hydrogen atom; a halogen atom; a (C_3-C_6)-cycloalkyl group; a halo(C_3-C_6)cycloalkyl group; a (C_1-C_6)alkoxy group; a halo(C_1-C_6)alkoxy group; a (C_1-C_6)alkylthio group; a halo(C_1-C_6)alkylthio group; a (C_1-C_6)alkylsulfinyl group; a halo(C_1-C_6)alkylsulfinyl group; a (C_1-C_6)alkylsulfonyl group; a halo(C_1-C_6)alkylsulfonyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a phenyloxy group; a substituted phenyloxy group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and

(C_1-C_6)alkoxycarbonyl groups; a phenylthio group; a substituted phenylthio group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups; a heterocyclic group; or a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups))];

the two Xs bonding to the adjacent two carbon atoms constituting the aromatic ring containing Q^1 to Q^4 may bond to each other to form a condensed ring; the condensed ring may have one or more same or different substituents selected from halogen atoms, cyano group,

nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)-alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups;

Q^5 is a nitrogen atom or a carbon atom;

Y may be the same or different, and is a halogen atom; a cyano group; a nitro group; a halo(C_3-C_6)cycloalkyl group; a phenyl group; a substituted phenyl group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; a heterocyclic group; a substituted heterocyclic group having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups,

(C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)-alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)alkoxycarbonyl groups; or $-A^5-R^{10}$ (A^5 and R^{10} each have the same definition as given above);

the two Ys bonding to the adjacent two carbon atoms constituting the aromatic ring containing Q^5 may bond to each other to form a condensed ring; the condensed ring may have one or more same or different substituents selected from halogen atoms, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, phenyl group, substituted phenyl groups having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-

alkoxycarbonyl groups, heterocyclic groups, and substituted heterocyclic groups having one or more same or different substituents selected from halogen atoms, cyano group, nitro group, (C_1-C_6)alkyl groups, halo(C_1-C_6)alkyl groups, (C_1-C_6)alkoxy groups, halo(C_1-C_6)alkoxy groups, (C_1-C_6)alkylthio groups, halo(C_1-C_6)alkylthio groups, (C_1-C_6)alkylsulfinyl groups, halo(C_1-C_6)-alkylsulfinyl groups, (C_1-C_6)alkylsulfonyl groups, halo(C_1-C_6)alkylsulfonyl groups, mono(C_1-C_6)alkylamino groups, di(C_1-C_6)alkylamino groups wherein the two alkyl groups may be the same or different, and (C_1-C_6)-alkoxycarbonyl groups;

m is an integer of 0 to 5;

Z^1 and Z^2 may be the same or different and are each an oxygen atom or a sulfur atom}.

MODE FOR CARRYING OUT THE INVENTION

In the definition of the aromatic diamide derivative represented by the general formula (I) or the salt thereof according to the present invention, "halogen atom" refers to chlorine atom, bromine atom, iodine atom or fluorine atom; "(C_1-C_6)alkyl group" refers to a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, n-hexyl or the like; "halo(C_1-C_6)alkyl group" refers to a straight chain or branched chain alkyl group having 1 to 6 carbon atoms,

substituted with one or more same or different halogen atoms; "(C_1-C_8)alkylene group" refers to a straight chain or branched chain alkylene group having 1 to 8 carbon atoms, such as methylene, ethylene, propylene, trimethylene, dimethylmethylene, tetramethylene, isobutylene, dimethylethylene, octamethylene or the like.

"(C_3-C_6)cycloalkyl group" refers to an alicyclic alkyl group having 3 to 6 carbon atoms, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or the like.

"The 4- to 7-membered ring which may contain one or two same or different atoms selected from oxygen, sulfur and nitrogen atoms, which is formed by bonding of R^1 to A^1 or by bonding of R^2 to A^1" can be exemplified by cyclobutane ring, cyclopentane ring, cyclohexane ring, azetidine ring, pyrrolidine ring, pyrroline ring, piperidine ring, imidazolidine ring, imidazoline ring, oxazolidine ring, thiazolidine ring, isoxazolidine ring, isothiazolidine ring, tetrahydropyridine ring, piperazine ring, morpholine ring, thiomorpholine ring, dioxazine ring and dithiazine ring. "The 4- to 7-membered ring which may contain one or two same or different atoms selected form oxygen, sulfur and nitrogen atoms, which is formed by bonding of R^2 to R^1" can be exemplified by azetidine ring, pyrrolidine ring, pyrroline ring, piperidine ring, imidazolidine ring, imidazoline ring, oxazolidine ring,

thiazolidine ring, isoxazolidine ring, isothiazolidine ring, tetrahydropyridine ring, piperazine ring, morpholine ring, thiomorpholine ring, dioxazine ring and dithiazine ring.

"Heterocyclic ring" can be exemplified by pyridyl group, pyridine-N-oxide group, pyrimidyl group, furyl group, tetrahydrofuryl group, thienyl group, tetrahydrothienyl group, tetrahydropyranyl group, tetrahydrothiopyranyl group, oxazolyl group, isoxazolyl group, oxadiazolyl group, thiazolyl group, isothiazolyl group, thiadiazolyl group, imidazolyl group, triazolyl group and pyrazolyl group. "Condensed ring" can be exemplified by naphthalene, tetrahydronaphthalene, indene, indane, quinoline, quinazoline, indole, indoline, coumarone, isocoumarone, benzodioxane, benzodioxole, benzofuran, dihydrobenzofuran, benzothiophene, dihydrobenzothiophene, benzoxazole, benzothiazole, benzimidazole and indazole.

"Salt" can be exemplified by inorganic acid salts such as hydrochloride, sulfate, nitrate, phosphate and the like; organic acid salts such as acetate, fumarate, maleate, oxalate, methanesulfonate, benzenesulfonate, paratoluenesulfonate and the like; and salts with metal ions such as sodium ion, potassium ion, calcium ion and the like.

The aromatic diamide derivative represented by the general formula (I) or the salt thereof according to the present invention may contain, in the

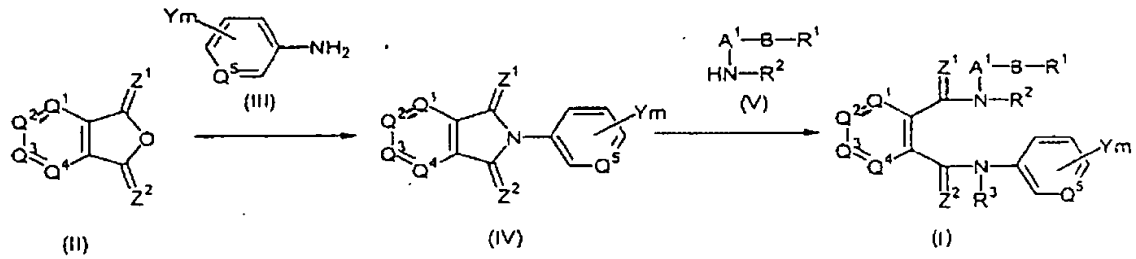
structural formula, one or more asymmetric carbon atoms or asymmetric centers, and may contain two or more kinds of optical isomers or diastereomers; and the present aromatic diamide derivative or salt thereof includes even these individual optical isomers and mixtures of any proportions of the optical isomers. Also, the aromatic diamide derivative represented by the general formula (I) or the salt thereof according to the present invention may contain, in the structural formula, two kinds of geometrical isomers owing to the carbon-to-carbon double bond or carbon-to-nitrogen double bond; and the present aromatic diamide derivative or salt thereof includes even these individual geometrical isomers and mixtures of any proportions of the geometrical isomers.

In a preferred embodiment of the aromatic diamide derivative represented by the general formula (I) or the salt thereof according to the present invention, A^1 is a (C_1-C_4)alkylene group, a (C_3-C_5)-alkenylene group or a (C_3-C_5)alkynylene group; B is -CO- or $-C(=N-OR^4)-$ (R^4 is a hydrogen atom or a (C_1-C_3)alkyl group); R^1 is a (C_1-C_3)alkyl group, a (C_1-C_3)alkoxy group, a mono(C_1-C_3)alkylamino group or a di(C_1-C_3)alkylamino group wherein the two alkyl groups may be the same or different; R^2 and R^3 are each a hydrogen atom; Q^1 and Q^2 are each a carbon atom; X may be the same or different, and is a halogen atom, a nitro group, a halo(C_1-C_6)alkyl group or a halo(C_1-C_6)alkoxy

group; Q^3 and Q^4 are each a carbon atom; Q^5 is a nitrogen atom or a carbon atom; Y may be the same or different, and is a halogen atom, a (C_1-C_6)alkyl group, a halo(C_1-C_6)alkyl group, a (C_1-C_6)alkoxy group, a halo(C_1-C_6)-alkoxy group or a halo(C_1-C_6)alkoxyhalo(C_1-C_6)alkoxy group; m is an integer of 1 to 3; and Z^1 and Z^2 are each an oxygen atom.

The aromatic diamide derivative represented by the general formula (I) or the salt thereof according to the present invention can be produced, for example, by the processes shown in the following schemes. The present aromatic diamide derivative or salt thereof can also be produced, for example, by the process disclosed in Japanese Patent Application No. 10-350768. However, the processes for producing the present aromatic diamide derivative or salt thereof are not restricted to these processes.

Production process 1



(wherein R^1, R^2, A^1, B, Q^1 to Q^5, Y, m, Z^1 and Z^2 each have the same definition as given above).

A carboxylic anhydride derivative represented by the general formula (II) is reacted with an amine represented by the general formula (III) in the presence of an inert solvent to obtain an imide derivative represented by the general formula (IV); the imide derivative (IV) is reacted, after being isolated or without being isolated, with an amine represented by the general formula (V); thereby, an aromatic diamide derivative represented by the general formula (I) can be produced.

(1) General formula (II) → general formula (IV)

The inert solvent usable in the present reaction can be any solvent as long as it does not impair the progress of the present reaction. It can be exemplified by aromatic hydrocarbons such as benzene, toluene, xylene and the like; halogenated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride and the like; chlorinated aromatic hydrocarbons such as chlorobenzene, dichlorobenzene and the like; chain or cyclic ethers such as diethyl ether, dioxane, tetrahydrofuran and the like; esters such as ethyl acetate and the like; amides such as dimethylformamide, dimethylacetamide and the like; acids such as acetic acid and the like; dimethyl sulfoxide; and 1,3-dimethyl-2-imidazolidinone. These inert solvents can be used singly or in admixture of two or more kinds.

Since the present reaction is an equimolar

reaction, the individual reactants can be used by the same mole, but any reactant may be used in excess. The present reaction may be conducted under a dehydrating condition as necessary.

The reaction temperature can be room temperature to the refluxing temperature of the inert solvent used. The reaction time varies depending upon, for example, the size or temperature of reaction, but can appropriately be determined in a range of several minutes to 48 hours.

After the completion of the reaction, the reaction mixture containing an intended product is subjected to an isolation treatment according to an ordinary method and, as necessary, purification is conducted by recrystallization, column chromatography or the like, whereby the intended product can be obtained. The reaction mixture per se may be used in the next reaction without being subjected to the above isolation treatment for obtaining the intended product.

The carboxylic anhydride derivative represented by the general formula (II) can be produced by one of the processes described in J. Org. Chem., 52, 129 (1987); J. Am. Chem. Soc., 51, 1865 (1929); ibidem, 63, 1542 (1941); etc. The amine represented by the general formula (III) can be produced by one of the processes described in J. Org. Chem., 29, 1 (1964); Angew. Chem. Int. Ed. Engl., 24, 871 (1985); Synthesis, 1984, 667; Nippon Kagaku Kaishi, 1973, 2351; DE-

2606982; JP-A-1-90163; etc. The amine represented by the general formula (V) can be produced by one of the processes described in Chem. Pharm. Bull., 30(5), 1921-1924 (1982); Jikken Kagaku Koza 22, Organic Synthesis IV (Amino Acids and Peptides) (1992); etc.

(2) General formula (IV) → general formula (I)

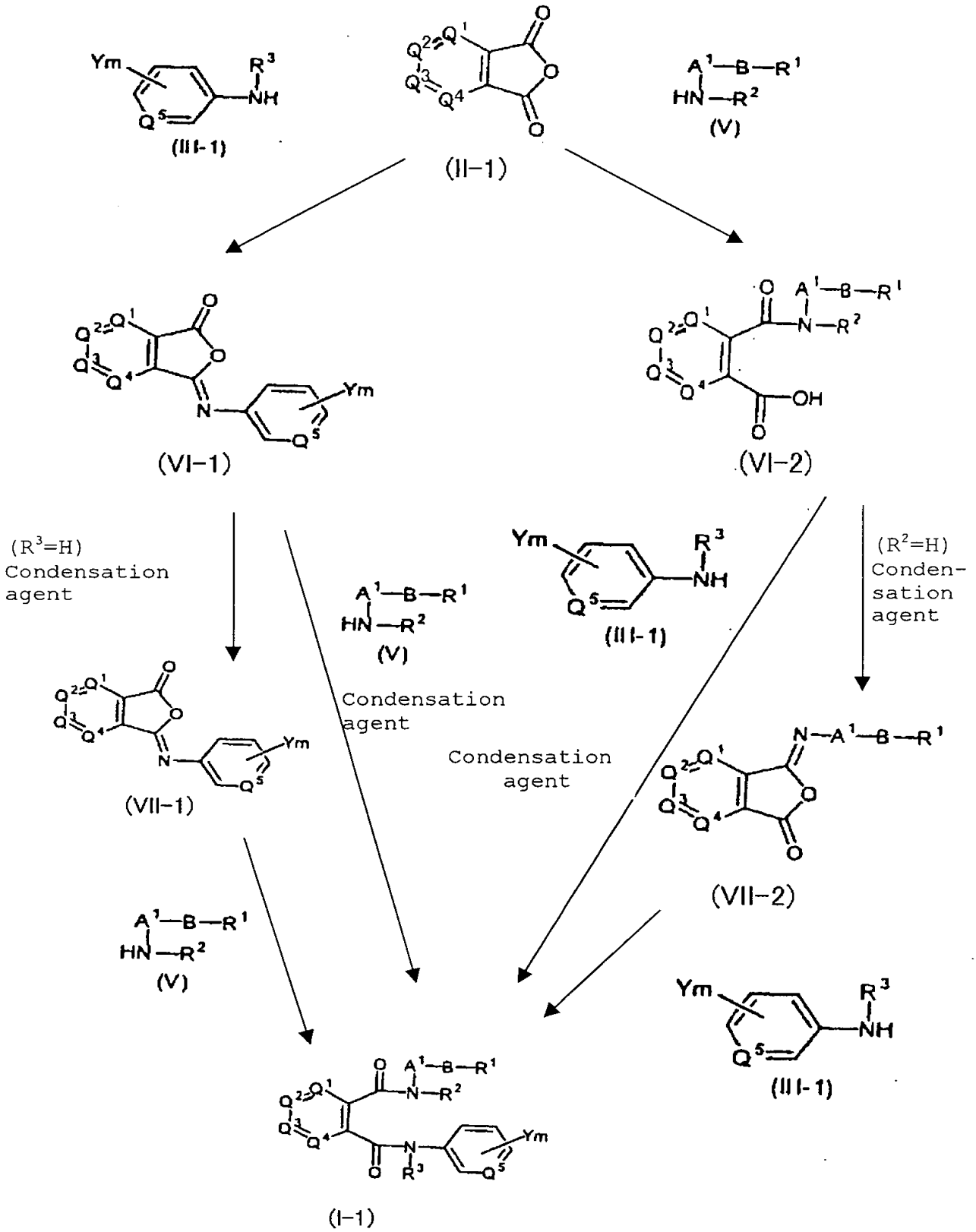
The inert solvent usable in the present reaction can be exemplified by those inert solvents usable in the above reaction (1).

Since the present reaction is an equimolar reaction, the individual reactants can be used by the same mole, but the amine represented by the general formula (V) may be used in excess.

The reaction temperature can be room temperature to the refluxing temperature of the inert solvent used. The reaction time varies depending upon, for example, the size or temperature of reaction, but can appropriately be determined in a range of several minutes to 48 hours.

After the completion of the reaction, the reaction mixture containing an intended product is subjected to an isolation treatment according to an ordinary method and, as necessary, purification is conducted by recrystallization, column chromatography or the like, whereby the intended product can be obtained.

Production process 2



(wherein R^1, R^2, R^3, A^1, B, Q^1 to Q^5, Y and m each have the same definition as given above).

A carboxylic anhydride derivative represented by the general formula (II-1) is reacted with an amine represented by the general formula (V) in the presence of an inert solvent to obtain a carboxamide represented by the general formula (VI-2). This carboxamide (VI-2) is subjected to the following procedure after being isolated or without being isolated. That is, the carboxamide (VI-2), wherein R^2 is a hydrogen atom, is subjected to a condensation reaction in the presence of a condensation agent to obtain a compound represented by the general formula (VII-2); the compound (VII-2) is reacted, after being isolated or without being isolated, with an amine represented by the general formula (III-1) in the presence of an inert solvent; or, the carboxamide (VI-2), wherein R^2 is other than hydrogen atom, is condensed with an amine represented by the general formula (III-1) in the presence of a condensation agent; thereby, an aromatic diamide derivative represented by the general formula (I-1) can be produced.

Alternatively, a carboxylic anhydride derivative represented by the general formula (II-1) is reacted with an amine represented by the general formula (III-1) in the presence of an inert solvent to obtain a carboxamide represented by the general formula (VI-1). This carboxamide (VI-1) is subjected to the

following procedure after being isolated or without being isolated. That is, the carboxamide (VI-1), wherein R^3 is a hydrogen atom, is subjected to a condensation reaction in the presence of a condensation agent to obtain a compound represented by the general formula (VII-1) and this compound (VII-1) is reacted, after being isolated or without being isolated, with an amine represented by the general formula (V) in the presence of an inert solvent; or, the carboxamide (VI-1), wherein R^3 is other than hydrogen atom, is condensed with an amine represented by the general formula (V) in the presence of a condensation agent; thereby, an aromatic diamide derivative represented by the general formula (I-1) can be produced.

(1) General formula (II-1) → general formula (VI-1), or general formula (II-1) → general formula (VI-2)

The present reaction is conducted in the same manner as in the production process 1 (2), whereby an intended compound can be produced.

(2) General formula (VII-1) or general formula (VII-2) → general formula (I-1)

The present reaction is conducted in the same manner as in the production process 1 (2), whereby an intended product can be produced.

(3) General formula (VI-1) → general formula (VII-1), or general formula (VI-2) → general formula (VII-2)

The present reaction is conducted in the same manner as described in J. Med. Chem., 10, 982 (1967),

whereby an intended compound can be produced.

(4) General formula (VI-1) or general formula (VI-2) → general formula (I-1)

A carboxamide derivative represented by the general formula (VI-1) or the general formula (VI-2) is reacted with an amine represented by the general formula (V) or the general formula (III-1) in the presence of a condensation agent and an inert solvent, whereby an intended compound can be produced. The present invention may be conducted in the presence of a base, as necessary.

The inert solvent used in the present reaction can be exemplified by tetrahydrofuran, diethyl ether, dioxane, methylene chloride and chloroform.

The condensation agent used in the present reaction can be any condensation agent used in ordinary amide production, and can be exemplified by Mukaiyama reagent (2-choro-N-methyl pyridinium iodide), DCC (1,3-dicyclohexylcarbodiimide), CDI (carbonyl diimidazole) and DEPC (diethyl phosphoric cyanide). The amount of the condensation agent used can appropriately be determined at one or more moles per mole of the carboxamide represented by the general formula (VI-1) or the general formula (VI-2).

The base usable in the present reaction can be exemplified by organic bases (e.g. triethylamine and pyridine) and inorganic bases (e.g. potassium carbonate). The amount of the base used can

appropriately be determined at one or more moles per mole of the carboxamide represented by the general formula (VI-1) or the general formula (VI-2).

The reaction temperature can be 0°C to the boiling point of the inert solvent used. The reaction time varies depending upon, for example, the size or temperature of reaction, but is several minutes to 48 hours.

After the completion of the reaction, the reaction mixture containing an intended product is subjected to an isolation treatment according to an ordinary method and, as necessary, purification is conducted by recrystallization, column chromatography or the like, whereby the intended product can be obtained.

Representative compounds of the aromatic diamide derivative represented by the general formula (I) are shown below in Table 1, Table 2 and Table 3. However, the present aromatic diamide derivative is not restricted to these compounds. In the following tables, Me refers to methyl group; Et refers to ethyl group; Pr refers to propyl group; Bu refers to butyl group; Ph refers to phenyl group; Pyr refers to pyridyl group; c- refers to alicyclic hydrocarbon group; and Physical property refers to melting point (°C).

In Table 1, with respect to Q^1 to Q^4 which are each C-X, Q^1 is at 3-position; Q^2 is at 4-position; Q^3 is at 5-position; and Q^4 is at 6-position.

General formula (I)

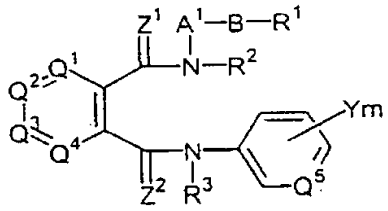


Table 1 ($Q^1=Q^2=Q^3=Q^4=C-X$、$Q^5=C$、$Z^1=Z^2=O$ 、$R^3=H$)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
1	CH_2CO_2Et	H	3-F	2-Me-4-$CF(CF_3)_2$	120
2	CH_2CO_2Et	H	3-Cl	2-Me-4-$CF(CF_3)_2$	103
3	CH_2CO_2Et	H	3-Br	2-Me-4-$CF(CF_3)_2$	134
4	CH_2CO_2Et	H	3-I	2-Me-4-$CF(CF_3)_2$	120
5	$CH(Me)CO_2Et$	H	3-F	2-Me-4-$CF(CF_3)_2$	140
6	$CH(Me)CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	145
7	$CH(Me)CH_2CO_2Et$	H	3-F	2-Me-4-$CF(CF_3)_2$	88
8	$CH_2CH_2CO_2Et$	H	3-I	2-Me-4-CF_2CF_3	112
9	$CH_2CH_2CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	133
10	$CH_2CH_2CO_2Et$	H	6-I	2-Me-4-$CF(CF_3)_2$	164
11	$CH(Me)CH_2CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	paste

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
12	$CH(Me)CH_2CO_2Me$	H	3-I	$2-Me-4-CF(CF_3)_2$	
13	$CH(Me)CH_2CO_2Pr-i$	H	3-I	$2-Me-4-CF(CF_3)_2$	
14	$CH(Me)CH_2CO_2Bu-t$	H	3-I	$2-Me-4-CF(CF_3)_2$	
15	$CH(Me)CH_2CO_2Et$	H	4-I	$2-Me-4-CF(CF_3)_2$	
16	$CH(Me)CH_2CO_2Et$	H	$3-CF_3$	$2-Me-4-CF_2CF_3$	
17	$CH(Me)CH_2CO_2Et$	H	$3-OCF_3$	$2-Cl-4-CF(CF_3)_2$	
18	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Et-4-CF(CF_3)_2$	
19	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-CH=C(Cl)CF_3$	
20	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-CH=CBr_2$	
21	$CH(Me)CH_2CO_2Et$	H	3-I	$4-CO_2CH(CF_3)_2$	
22	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-C\equiv C-(2,4-Cl_2-Ph)$	
23	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-C\equiv C-Bu-t$	
24	$CH(Me)CH_2CO_2Et$	H	$3-CF_3$	$2-F-4-CF_2CF_3$	
25	$CH(Me)CH_2CO_2Et$	H	3-I	$2-OMe-4-CF(CF_3)_2$	
26	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-C(CH_3)=NOMe$	
27	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-C(CH_3)=NO-CH_2-Ph$	
28	$CH(Me)CH_2CO_2Et$	H	3-I	$3-OCF_2CF_2O-4$	
29	$CH(Me)CH_2CO_2Et$	H	3-I	$3-OCF_2CF_2-4$	
30	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Cl-3-OCF_2CF_2O-4$	
31	$CH(Me)CH_2CO_2Et$	H	3-I	$3-OCF_2O-4$	
32	$CH(Me)CH_2CO_2Et$	H	3-I	$3-OCHFCF_2O-4$	

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
33	$CH(Me)CH_2CO_2Et$	H	3-I	$3-OCF_3CHFO-4$	
34	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-3-F-4-CF(CF_3)_2$	
35	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-5-F-4-CF(CF_3)_2$	
36	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-(4-CF_3-Ph)$	
37	$CH(Me)CH_2CO_2Et$	H	3-I	2-Me-4-(4-Cl-Ph)	
38	$CH(Me)CH_2CO_2Et$	H	3-I	2-Me-4-(4-Cl-PhO)	
39	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-OCF_3$	
40	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-OCF_2CF_3$	
41	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-CF_3$	
42	$CH(Me)CO_2Et$	H	3-I	$2-Me-3-CF_2CF_3$	
43	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-SCF_3$	
44	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-SOCF_3$	
45	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-SO_2CF_3$	
46	$CH(Me)CH_2CO_2Et$	H	3-I	$2-Me-4-SCF_2CF_3$	
47	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-OCF_2CHFOCF_3$	
48	$CH(Me)CO_2Et$	H	3-I	$2-Me-4-(5-CF_3-2-Pyr-O)$	
49	$CH(Me)CO_2Et$	H	3-Cl	$2-Me-4-(3-Cl-5-CF_3-2-Pyr-O)$	
50	$CH(Me)CH_2CO_2Et$	H	$3-NO_2$	$2-Me-4-CF(CF_3)_2$	
51	$CH(Me)CH_2CO_2Et$	H	$3,4-Cl_2$	$2-Me-4-CF(CF_3)_2$	
52	$CH(Me)CH_2CO_2Et$	H	$3-SCF_3$	$2-Me-4-CF(CF_3)_2$	
53	$CH(Me)CH_2CO_2Et$	H	$3-SOCF_3$	$2-Me-4-CF(CF_3)_2$	
54	$CH(Me)CH_2CO_2Et$	H	$3-SO_2CF_3$	$2-Me-4-CF(CF_3)_2$	

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
55	$CH(Me)CH_2CO_2Et$	H	3-Ph	2-Me-4-$CF(CF_3)_2$	
56	$CH(Me)CH_2CO_2Et$	H	3-OPh	2-Me-4-$CF(CF_3)_2$	
57	$CH(Me)CH_2CO_2Et$	H	3-(4-Cl-PhO)	2-Me-4-$CF(CF_3)_2$	
58	$CH(Me)CO_2Et$	H	3-I	2-Me-4-Cl	
59	$CH(Me)CO_2Et$	H	3-CONHPr-i	2-Me-4-Cl	
60	$CH(Me)CH_2CO_2Et$	H	3-CH=CH-CH=CH-4	2-Me-4-Cl	
61	$CH(Me)CH_2CO_2Et$	Me	3-I	2-Me-4-$CF(CF_3)_2$	
62	$CH(Me)CH_2CO_2Et$	Et	3-I	2-Me-4-$CF(CF_3)_2$	
63	$C(Me)_2C\equiv CCO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	
64	$C(Me)_2CH=CHCO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	250
65	$CH(CH_2SMe)CH_2CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	
66	$CH(CF_3)CH_2CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	
67	$CH(CH_2OMe)CH_2CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	
68	$CH(Ph)CH_2CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	
69	$CH(4\text{-}Cl\text{-}Ph)CH_2CO_2Et$	H	3-I	2-Me-4-$CF(CF_3)_2$	
70	$CH(Me)CON(Me)_2$	H	3-I	2-Me-4-CF_2CF_3	122
71	$CH(Me)CON(Me)_2$	H	3-I	2-Me-4-$CF(CF_3)_2$	156
72	$CH(Me)CON(Et)_2$	H	3-I	2-Me-4-$CF(CF_3)_2$	133
73	$CH(Me)CH_2CONHMe$	H	3-I	2-Me-4-$CF(CF_3)_2$	220
74	$CH(Me)CH_2CONHEt$	H	3-I	2-Me-4-$CF(CF_3)_2$	208
75	$CH(Me)CH_2CON(Me)Ph$	H	3-I	2-Me-4-$CF(CF_3)_2$	200
76	$CH(Me)CH_2CON(Me)_2$	H	3-I	2-Me-4-CF_2CF_3	102
77	$CH(Me)CH_2CON(Me)_2$	H	3-I	2-Me-4-$CF(CF_3)_2$	126

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
78	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-Me-4-CF(CF_3)_2$	137
79	$CH(Me)CH_2CONHEt$	H	4-I	$2-Me-4-CF(CF_3)_2$	
80	$CH(Me)CH_2CONHEt$	H	$3-CF_3$	$2-Me-4-CF_2CF_3$	
81	$CH(Me)CH_2CONHEt$	H	$3-OCF_3$	$2-Cl-4-CF(CF_3)_2$	
82	$CH(Me)CH_2CONHEt$	H	3-I	$2-Et-4-CF(CF_3)_2$	
83	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-4-CH=C(Cl)CF_3$	
84	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-4-CH=CBr_2$	
85	$CH(Me)CON(Et)_2$	H	3-I	$4-CO_2CH(CF_3)_2$	
86	$CH(Me)CON(Et)_2$	H	3-I	$2-Me-4-C\equiv C-(2,4-Cl_2-Ph)$	
87	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-4-C\equiv C-Bu-t$	
88	$CH(Me)CH_2CON(Et)_2$	H	$3-CF_3$	$2-F-4-CF_2CF_3$	
89	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-OMe-4-CF(CF_3)_2$	
90	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-Me-4-C(CH_3)=NOMe$	
91	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-Me-4-C(CH_3)=NO-CH_2-Ph$	
92	$CH(Me)CH_2CON(Et)_2$	H	3-I	$3-OCF_2CF_2O-4$	
93	$CH(Me)CH_2CONHEt$	H	3-I	$3-OCF_2CF_2-4$	
94	$CH(Me)CON(Et)_2$	H	3-I	$2-Cl-3-OCF_2CF_2O-4$	
95	$CH(Me)CH_2CON(Et)_2$	H	3-I	$3-OCF_2O-4$	
96	$CH(Me)CH_2CONHEt$	H	3-I	$3-OCHFCF_2O-4$	
97	$CH(Me)CON(Et)_2$	H	3-I	$3-OCF_2CHFO-4$	
98	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-Me-3-F-4-CF(CF_3)_2$	

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
99	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-5-F-4-CF(CF_3)_2$	
100	$CH(Me)CON(Et)_2$	H	3-I	$2-Me-4-(4-CF_3-Ph)$	
101	$CH(Me)CH_2CON(Et)_2$	H	3-I	2-Me-4-(4-Cl-Ph)	
102	$CH(Me)CH_2CONHEt$	H	3-I	2-Me-4-(4-Cl-PhO)	
103	$CH(Me)CON(Et)_2$	H	3-I	$2-Me-4-OCF_3$	
104	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-Me-4-OCF_2CF_3$	
105	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-4-CF_3$	
106	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-3-CF_2CF_3$	
107	$CH(Me)CON(Et)_2$	H	3-I	$2-Me-4-SCF_3$	
108	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-Me-4-SOCF_3$	
109	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-4-SO_2CF_3$	
110	$CH(Me)CH_2CONHEt$	H	3-I	$2-Me-4-SCF_2CF_3$	
111	$CH(Me)CON(Et)_2$	H	3-I	$2-Me-4-OCF_2CHFOCF_3$	
112	$CH(Me)CH_2CON(Et)_2$	H	3-I	$2-Me-4-(5-CF_3-2-Pyr-O)$	
113	$CH(Me)CH_2CONHEt$	H	3-Cl	$2-Me-4-(3-Cl-5-CF_3-2-Pyr-O)$	
114	$CH(Me)CH_2CONHEt$	H	$3-NO_2$	$2-Me-4-CF(CF_3)_2$	
115	$CH(Me)CON(Et)_2$	H	$3,4-Cl_2$	$2-Me-4-CF(CF_3)_2$	
116	$CH(Me)CH_2CON(Et)_2$	H	$3-SCF_3$	$2-Me-4-CF(CF_3)_2$	
117	$CH(Me)CH_2CONHEt$	H	$3-SOCF_3$	$2-Me-4-CF(CF_3)_2$	
118	$CH(Me)CH_2CONHEt$	H	$3-SO_2CF_3$	$2-Me-4-CF(CF_3)_2$	
119	$CH(Me)CON(Et)_2$	H	3-Ph	$2-Me-4-CF(CF_3)_2$	
120	$CH(Me)CH_2CON(Et)_2$	H	3-OPh	$2-Me-4-CF(CF_3)_2$	

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
121	$CH(Me)CH_2CONHEt$	H	3-(4-Cl-PhO)	$2-Me-4-CF(CF_3)_2$	
122	$CH(Me)CON(Et)_2$	H	3-I	2-Me-4-Cl	
123	$CH(Me)CH_2CON(Et)_2$	H	3-CONHPr-i	2-Me-4-Cl	
124	$CH(Me)CH_2CONHEt$	H	3-CH=CH-CH=CH-4	2-Me-4-Cl	
125	$CH(Me)CON(Et)_2$	Me	3-I	$2-Me-4-CF(CF_3)_2$	
126	$CH(Me)CH_2CON(Et)_2$	Et	3-I	$2-Me-4-CF(CF_3)_2$	
127	$C(Me)_2C\equiv CCON(Et)_2$	H	3-I	$2-Me-4-CF(CF_3)_2$	
128	$C(Me)_2CH=CHCON(Et)_2$	H	3-I	$2-Me-4-CF(CF_3)_2$	
129	$CH(CH_2SMe)CH_2CON(Et)_2$	H	3-I	$2-Me-4-CF(CF_3)_2$	
130	$CH(CF_3)CH_2CONHEt$	H	3-I	$2-Me-4-CF(CF_3)_2$	
131	$CH(CH_2OMe)-CH_2CONHEt$	H	3-I	$2-Me-4-CF(CF_3)_2$	
132	$CH(Ph)CH_2CON(Et)_2$	H	3-I	$2-Me-4-CF(CF_3)_2$	
133	CH(4-Cl-Ph)-$CH_2CONHEt$	H	3-I	$2-Me-4-CF(CF_3)_2$	
134	CH(Me)COMe	H	3-I	$2-Me-4-CF(CF_3)_2$	189
135	CH(Me)COPh	H	3-I	$2-Me-4-CF(CF_3)_2$	171
136	CH(Me)CH=NOMe	H	3-I	$2-Me-4-CF(CF_3)_2$	192
137	CH(Me)CH=NOMe	H	6-I	$2-Me-4-CF(CF_3)_2$	paste
138	$CH(Me)CH=NOCH_2Ph$	H	3-I	$2-Me-4-CF(CF_3)_2$	paste
139	$C(Me)_2CH=NOMe$	H	3-I	$2-Me-4-CF(CF_3)_2$	126
140	C(Me)C(Me)=NOMe	H	3-I	$2-Me-4-CF(CF_3)_2$	107
141	$CH_2C(Ph)=NOMe$	H	3-I	$2-Me-4-CF(CF_3)_2$	106
142	CH(Me)CH=NOMe	H	4-I	$2-Me-4-CF(CF_3)_2$	
143	C(Me)C(Me)=NOMe	H	$3-CF_3$	$2-Me-4-CF_2CF_3$	

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
144	CH(Me)CH=NOMe	H	3-OCF_3	2-Cl-4-$CF(CF_3)_2$	
145	$C(Me)_2CH$=NOMe	H	3-I	2-Et-4-$CF(CF_3)_2$	
146	CH(Me)CH=NOMe	H	3-I	2-Me-4-CH=C(Cl)CF_3	
147	CH(Me)C(Me)=NOMe	H	3-I	2-Me-4-$CH=CBr_2$	
148	CH(Me)CH=NOMe	H	3-I	4-$CO_2CH(CF_3)_2$	
149	$C(Me)_2CH$=NOMe	H	3-I	2-Me-4-C≡C-(2,4-Cl_2-Ph)	
150	CH(Me)CH=NOMe	H	3-I	2-Me-4-C≡C-Bu-t	
151	$CH_2C(Me)$=NOMe	H	3-CF_3	2-F-4-CF_2CF_3	
152	CH(Me)CH=NOMe	H	3-I	2-OMe-4-$CF(CF_3)_2$	
153	$C(Me)_2CH$=NOMe	H	3-I	2-Me-4-$C(CH_3)$=NOMe	
154	CH(Me)CH=NOMe	H	3-I	2-Me-4-$C(CH_3)$=NO-CH_2-Ph	
155	CH(Me)C(Me)=NOMe	H	3-I	3-OCF_2CF_2O-4	
156	CH(Me)CH=NOMe	H	3-I	3-OCF_2CF_2-4	
157	$C(Me)_2CH$=NOMe	H	3-I	2-Cl-3-OCF_2CF_2O-4	
158	CH(Me)C(Me)=NOMe	H	3-I	3-OCF_2O-4	
159	CH(Me)CH=NOMe	H	3-I	3-$OCHFCF_2O$-4	
160	$C(Me)_2CH$=NOMe	H	3-I	3-OCF_2CHFO-4	
161	CH(Me)CH=NOMe	H	3-I	2-Me-3-F-4-$CF(CF_3)_2$	
162	CH(Me)C(Me)=NOMe	H	3-I	2-Me-5-F-4-$CF(CF_3)_2$	
163	CH(Me)CH=NOMe	H	3-I	2-Me-4-(4-CF_3-Ph)	
164	$C(Me)_2CH$=NOMe	H	3-I	2-Me-4-(4-Cl-Ph)	

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
165	CH(Me)CH=NOMe	H	3-I	2-Me-4-(4-Cl-PhO)	
166	CH(Me)C(Me)=NOMe	H	3-I	2-Me-4-OCF_3	
167	CH(Me)CH=NOMe	H	3-I	2-Me-4-OCF_2CF_3	
168	$C(Me)_2CH$=NOMe	H	3-I	2-Me-4-CF_3	
169	CH(Me)CH=NOMe	H	3-I	2-Me-3-CF_2CF_3	
170	CH(Me)C(Me)=NOMe	H	3-I	2-Me-4-SCF_3	
171	CH(Me)CH=NOMe	H	3-I	2-Me-4-$SOCF_3$	
172	$C(Me)_2CH$=NOMe	H	3-I	2-Me-4-SO_2CF_3	
173	CH(Me)CH=NOMe	H	3-I	2-Me-4-SCF_2CF_3	
174	CH(Me)CH=NOMe	H	3-I	2-Me-4-$OCF_2CHFOCF_3$	
175	$C(Me)_2CH$=NOMe	H	3-I	2-Me-4-(5-CF_3-2-Pyr-O)	
176	CH(Me)CH=NOMe	H	3-Cl	2-Me-4-(3-Cl-5-CF_3-2-Pyr-O)	
177	$C(Me)_2CH$=NOMe	H	3-NO_2	2-Me-4-$CF(CF_3)_2$	149
178	CH(Me)CH=NOMe	H	3,4-Cl_2	2-Me-4-$CF(CF_3)_2$	
179	CH(Me)CH=NOMe	H	3-SCF_3	2-Me-4-$CF(CF_3)_2$	
180	$C(Me)_2CH$=NOMe	H	3-$SOCF_3$	2-Me-4-$CF(CF_3)_2$	
181	CH(Me)CH=NOMe	H	3-SO_2CF_3	2-Me-4-$CF(CF_3)_2$	
182	$C(Me)_2CH$=NOMe	H	3-Ph	2-Me-4-$CF(CF_3)_2$	
183	CH(Me)CH=NOMe	H	3-OPh	2-Me-4-$CF(CF_3)_2$	
184	CH(Me)CH=NOMe	H	3-(4-Cl-PhO)	2-Me-4-$CF(CF_3)_2$	
185	$C(Me)_2CH$=NOMe	H	3-I	2-Me-4-Cl	
186	CH(Me)CH=NOMe	H	3-CONHPr-i	2-Me-4-Cl	

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
187	CH(Me)CH=NOMe	H	3-CH=CH-CH=CH-4	2-Me-4-Cl	
188	CH(Me)CH=NOMe	Me	3-I	2-Me-4-$CF(CF_3)_2$	
189	CH(Me)CH=NOMe	Et	3-I	2-Me-4-$CF(CF_3)_2$	
190	CH(CH_2SMe)CH=NOMe	H	3-I	2-Me-4-$CF(CF_3)_2$	
191	CH(CF_3)CH=NOEt	H	3-I	2-Me-4-$CF(CF_3)_2$	
192	CH(CH_2OMe)CH=NOMe	H	3-I	2-Me-4-$CF(CF_3)_2$	
193	CH(Ph)CH=NOMe	H	3-I	2-Me-4-$CF(CF_3)_2$	
194	CH(Me)CH_2CH=NOMe	H	3-I	2-Me-4-$CF(CF_3)_2$	
195	CH(Me)CH=NOCH_2-(4-t-Bu-Ph)	H	3-I	2-Me-4-$CF(CF_3)_2$	
196	CH(Me)CH=NOCH_2-(4-t-BuO_2C-Ph)	H	3-I	2-Me-4-$CF(CF_3)_2$	
197	CH(Me)$CO_2CH_2CH_2$OEt	H	3-I	2-Me-4-$CF(CF_3)_2$	
198	CH(Me)$CO_2CH_2CH_2$SEt	H	3-I	2-Me-4-$CF(CF_3)_2$	
199	CH(Me)CO_2CH_2-Ph	H	3-I	2-Me-4-$CF(CF_3)_2$	
200	CH_2CH=CHCO_2Et	H	3-I	2-Me-4-$CF(CF_3)_2$	
201	$CH_2C\equiv CCO_2$Et	H	3-I	2-Me-4-$CF(CF_3)_2$	
202	CH(Me)CH=CHCO_2Et	H	3-I	2-Me-4-$CF(CF_3)_2$	
203	CH(Me)$C\equiv CCO_2$Et	H	3-I	2-Me-4-$CF(CF_3)_2$	
204	CH(Me)CONHEt	H	3-I	2-Me-4-$CF(CF_3)_2$	210
205	CH(Me)CONHPr-n	H	3-I	2-Me-4-$CF(CF_3)_2$	201
206	CH(Me)CONHPr-c	H	3-I	2-Me-4-$CF(CF_3)_2$	
207	CH(Me)CONHBu-n	H	3-I	2-Me-4-$CF(CF_3)_2$	214

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
208	$CH(Me)CONHCH_2CH=CH_2$	H	3-I	2-Me-4-$CF(CF_3)_2$	
209	$CH(Me)CONHCH_2C\equiv CH$	H	3-I	2-Me-4-$CF(CF_3)_2$	
210	$CH(Me)CONHCH_2CF_3$	H	3-I	2-Me-4-$CF(CF_3)_2$	
211	$CH(Me)CONHCH_2CH_2SMe$	H	3-I	2-Me-4-$CF(CF_3)_2$	
212	$CH(Me)CONHCH_2CH_2SOMe$	H	3-I	2-Me-4-$CF(CF_3)_2$	
213	$CH(Me)CONHCH_2CH_2-SO_2Me$	H	3-I	2-Me-4-$CF(CF_3)_2$	
214	$CH(Me)CONHCH_2CH_2OMe$	H	3-I	2-Me-4-$CF(CF_3)_2$	
215	$CH(Me)CONHCH_2$-Ph	H	3-I	2-Me-4-$CF(CF_3)_2$	212
216	$CH(Me)CON(n-Pr)_2$	H	3-I	2-Me-4-$CF(CF_3)_2$	142
217	$CH(Me)CON(CH_2CH_2)_2O$	H	3-I	2-Me-4-$CF(CF_3)_2$	165
218	$CH(Me)CON(CH_2)_5$	H	3-I	2-Me-4-$CF(CF_3)_2$	170
219	$CH(Me)CON(CH_2)_4$	H	3-I	2-Me-4-$CF(CF_3)_2$	205
220	$C(Me)_2CONHEt$	H	3-I	2-Me-4-$CF(CF_3)_2$	
221	$C(Me)_2CONHPr$-n	H	3-I	2-Me-4-$CF(CF_3)_2$	
222	$CH(Me)CONHCH_2CH=CH_2$	H	3-I	2-Me-4-$CF(CF_3)_2$	
223	$CH(Me)CONHCH_2C\equiv CH$	H	3-I	2-Me-4-$CF(CF_3)_2$	
224	$CH(Me)CH=CHCONHMe$	H	3-I	2-Me-4-$CF(CF_3)_2$	
225	$CH(Me)C\equiv CCONHEt$	H	3-I	2-Me-4-$CF(CF_3)_2$	
226	$C(Me)_2CH=CHCONHEt$	H	3-I	2-Me-4-$CF(CF_3)_2$	245
227	$C(Me)_2C\equiv CCONHEt$	H	3-I	2-Me-4-$CF(CF_3)_2$	
228	$CH(Me)C(=O)H$	H	H	2-Me-4-OCF_3	134
229	$C(Me)_2C(=O)H$	H	H	2-Me-4-OCF_3	150
230	$C(Me)_2C(=O)H$	H	H	2-Me-4-$OCF_2CHFOC_3F_7$-n	159

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
231	$C(Me)_2C(=O)H$	H	H	2-Me-4-OCF_2CHFCF_3	171
232	$C(Me)_2C(=O)H$	H	H	2-Me-4-O-(3-Cl-5-CF_3-2-Pyr)	159
233	$C(Me)_2C(=O)H$	H	H	2-Me-4-Cl	229
234	$C(Me)_2C(=O)H$	H	H	2-Me-4-CF_2CF_3	87
235	$C(Me)_2C(=O)H$	H	H	2-Me-4-$CF_2CF_2CF_3$	143
236	$C(Me)_2C(=O)H$	H	H	2-Me-4-$CF(CF_3)_2$	214
237	$C(Me)_2C(=O)H$	H	3-NO_2	2-Me-4-$CF(CF_3)_2$	262
238	$C(Me)_2C(=O)H$	H	3-F	2-Me-4-$CF(CF_3)_2$	146
239	$C(Me)_2C(=O)H$	H	3,4-Cl_2	2-Me-4-$CF(CF_3)_2$	166
240	$(CH_2)_2C(=O)H$	H	3-I	2-Me-4-$CF(CF_3)_2$	128
241	$CH(CH_2SO_2Me)C(=O)H$	H	3-I	2-Me-4-$CF(CF_3)_2$	106
242	$C(Me)(CH_2SO_2Me)$-$C(=O)H$	H	3-I	2-Me-4-$CF(CF_3)_2$	118
243	$C(Me)(CH_2SO_2Et)$-$C(=O)H$	H	3-I	2-Me-4-$CF(CF_3)_2$	103
244	$C(Me)_2CH=NOH$	H	H	2-Me-4-OCF_2CHFCF_3	150
245	$C(Me)_2CH=NOH$	H	H	2-Me-4-CF_2CF_3	182
246	$C(Me)_2CH=NOH$	H	3-I	2-Me-4-CF_2CF_3	189
247	$C(Me)_2CH=NOH$	H	3-F	2-Me-4-$CF(CF_3)_2$	242
248	$C(Me)_2CH=NOH$	H	3-I	2-Me-4-$CF(CF_3)_2$	218
249	$C(Me)(CH_2SO_2Me)CH=NOH$	H	3-I	2-Me-4-$CF(CF_3)_2$	106
250	$C(Me)(CH_2SO_2Et)CH=NOH$	H	3-I	2-Me-4-$CF(CF_3)_2$	112
251	$CH_2CH=NOMe$	Me	H	2-Me-4-$CF(CF_3)_2$	127
252	$CH(Me)CH=NOMe$	H	H	2-Me-4-OCF_3	133

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
253	CH(Me)CH=NOMe	H	3-I	2-Me-4-OCF_3	159
254	CH(Me)CH=NOMe	H	3-Br	2-Me-4-OCF_3	168
255	CH(Me)CH=NOMe	H	H	2-Me-4-CF_2CF_3	130
256	CH(Me)CH=NOMe	H	3-I	2-Me-4-CF_2CF_3	110
257	CH(Me)CH=NOMe	H	3-Cl	2-Me-4-CF_2CF_3	154
258	CH(Me)CH=NOMe	H	3-Br	2-Me-4-CF_2CF_3	162
259	CH(Me)CH=NOMe	H	H	2-Me-4-$CF(CF_3)_2$	154
260	CH(Me)CH=NOMe	H	3-OCF_3	2-Me-4-$CF(CF_3)_2$	165
261	$C(Me)_2$CH=NOMe	H	H	2-Me-4-$OCHF_2$	170
262	$C(Me)_2$CH=NOMe	H	3-I	2-Me-4-$OCHF_2$	184 (E-form)
263	$C(Me)_2$CH=NOMe	H	3-I	2-Me-4-$OCHF_2$	182 (Z-form)
264	$C(Me)_2$CH=NOMe	H	H	2-Me-4-OCF_3	195
265	$C(Me)_2$CH=NOMe	H	3-I	2-Me-4-OCF_3	191
266	$C(Me)_2$CH=NOMe	H	3-Cl	2-Me-4-OCF_3	199
267	$C(Me)_2$CH=NOMe	H	3-Br	2-Me-4-OCF_3	184
268	$C(Me)_2$CH=NOMe	H	3,4-Cl_2	2-Me-4-OCF_3	212
269	$C(Me)_2$CH=NOMe	H	H	2-Me-4-OCF_2CHF_2	174
270	$C(Me)_2$CH=NOMe	H	3-I	2-Me-4-OCF_2CHF_2	185
271	$C(Me)_2$CH=NOMe	H	H	2-Me-4-OCF_2CHFCF_3	160
272	$C(Me)_2$CH=NOMe	H	H	2-Me-4-$OCF_2CHFOC_3F_7$-n	140

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
273	$C(Me)_2CH=NOMe$	H	H	2-Me-4-O-(3-Cl-5-CF_3-2-Pyr)	151
274	$C(Me)_2CH=NOMe$	H	H	2-Me-4-Cl	178
275	$C(Me)_2CH=NOMe$	H	H	2-Me-4-CF_2CF_3	200
276	$C(Me)_2CH=NOMe$	H	3-I-4-Cl	2-Me-4-CF_2CF_3	225
277	$C(Me)_2CH=NOMe$	H	3-I	2-Me-4-CF_2CF_3	147
278	$C(Me)_2CH=NOMe$	H	3-Cl	2-Me-4-CF_2CF_3	202
279	$C(Me)_2CH=NOMe$	H	3-Br	2-Me-4-CF_2CF_3	207
280	$C(Me)_2CH=NOMe$	H	H	2-Me-4-$CF_2CF_2CF_3$	174
281	$C(Me)_2CH=NOMe$	H	H	2-Me-4-$CF(CF_3)_2$	178
282	$C(Me)_2CH=NOMe$	H	4-CF_3	2-Me-4-$CF(CF_3)_2$	155
283	$C(Me)_2CH=NOMe$	H	3-OCF_3	2-Me-4-$CF(CF_3)_2$	186
284	$C(Me)_2CH=NOMe$	H	3-F	2-Me-4-$CF(CF_3)_2$	199
285	$C(Me)_2CH=NOMe$	H	3-Cl	2-Me-4-$CF(CF_3)_2$	234
286	$C(Me)_2CH=NOMe$	H	3-Br	2-Me-4-$CF(CF_3)_2$	243
287	$C(Me)_2CH=NOMe$	H	3,4-Cl_2	2-Me-4-$CF(CF_3)_2$	207
288	$C(Me)_2CH=NOMe$	H	H	2-Cl-4-CF_3	154
289	$C(Me)_2CH=NOMe$	H	3-I	2-Cl-4-CF_3	167
290	$C(Me)_2CH=NOEt$	H	H	2-Me-4-$CF(CF_3)_2$	157
291	$C(Me)_2CH=NOEt$	H	3-I	2-Me-4-$CF(CF_3)_2$	119
292	$CH(Me)CH=NOPr-n$	H	H	2-Me-4-$CF(CF_3)_2$	172
293	$CH(Me)CH=NOCH_2Pr-c$	H	H	2-Me-4-CF_2CF_3	91
294	$CH(Me)CH=NOCH_2CH_2SEt$	H	H	2-Me-4-CF_2CF_3	paste
295	$CH(Me)CH=NOCH_2CH_2OEt$	H	H	2-Me-4-CF_2CF_3	paste

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
296	$CH(Me)CH=NOCH_2CH=CH_2$	H	H	$2-Me-4-CF(CF_3)_2$	172
297	$C(Me)_2CH=NOCH_2CO_2Et$	H	3-I	$2-Me-4-CF_2CF_3$	
298	$C(Me)_2CH=NOCH_2CO_2Bu-t$	H	H	$2-Me-4-OCF_3$	153
299	$C(Me)_2CH=NOCH_2CONHEt$	H	H	$2-Me-4-CF(CF_3)_2$	
300	$C(Me)_2CH=NOCH_2CONHEt$	H	3-I	$2-Me-4-CF(CF_3)_2$	
301	$C(Me)_2CH=NOCH_2CON(Et)_2$	H	H	$2-Me-4-CF(CF_3)_2$	
302	$C(Me)_2CH=NOCH_2CON(Et)_2$	H	H	$2-Me-4-OCF_3$	131
303	$C(Me)_2CH=NOCH_2CON(Et)_2$	H	3-I	$2-Me-4-CF(CF_3)_2$	
304	$(CH_2)_2CH=NOMe$	H	3-I	$2-Me-4-CF(CF_3)_2$	197
305	$(CH_2)_3CH=NOMe$	H	H	$2-Me-4-OCF_3$	108
306	$(CH_2)_3CH=NOEt$	H	H	$2-Me-4-OCF_3$	107
307	$(CH_2)_4CH=NOMe$	H	H	$2-Me-4-OCF_3$	110
308	$(CH_2)_4CH=NOEt$	H	H	$2-Me-4-OCF_3$	117
309	$CH(Me)CH_2CH=NOMe$	H	3-I	$2-Me-4-CF(CF_3)_2$	170
310	$C(Me)_2CH=NOMe$	H	3-I	$2-Me-4-OCF_2CHFCF_3$	188
311	$C(Me)_2CH=NOMe$	H	H	2-Me-4-O-(3-Cl-5-CF_3-2-Pyr)	170
312	$C(Me)_2CH=NOMe$	H	H	$3-OCF_2O-4$	181
313	$C(Me)_2CH=NOMe$	H	H	$3-OCF_2CF_2O-4$	191
314	$CH(Me)CH=NOCH_2Pr-c$	H	3-I	$2-Me-4-CF(CF_3)_2$	142
315	$CH(Me)CH=NOCH_2CH_2SEt$	H	3-I	$2-Me-4-CF(CF_3)_2$	165
316	$CH(Me)CH=NOCH_2CH_2OEt$	H	3-I	$2-Me-4-CF(CF_3)_2$	107
317	$CH(Me)CH=NOCH_2CH=CH_2OEt$	H	3-I	$2-Me-4-CF(CF_3)_2$	103
318	$C(Me)_2CH=NOCH_2COOBu-t$	H	3-I	$2-Me-4-CF(CF_3)_2$	101
319	$C(Me)_2CH=NOCH_2CONEt_2$	H	3-I	$2-Me-4-CF(CF_3)_2$	97

Table 1 (cont'd)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
320	$CH(Me)CONHCH_2CH_2OMe$	H	3-I	$2-Me-4-CF(CF_3)_2$	200
321	$CH(Me)CONHCH_2CH_2-CH_2SMe$	H	3-I	$2-Me-4-CF(CF_3)_2$	203
322	$CH(Me)CONHCH_2CF_3$	H	3-I	$2-Me-4-CF(CF_3)_2$	236

Table 2 ($Q^1=Q^2=Q^3=Q^4=Q^5=C$, $Z^1=S$, $Z^2=O$, $R^3=H$)

No.	$-A^1-B-R^1$	R^2	X	Ym	Physical property
II-1	$CH(Me)CH=NOMe$	H	3-Cl	$2-Me-4-CF(CF_3)_2$	
II-2	$CH(Me)C(Me)=NOMe$	H	H	$2-Me-4-CF(CF_3)_2$	
II-3	$CH(Me)CH_2CO_2Et$	H	3-Cl	$2-Me-4-CF(CF_3)_2$	
II-4	$CH(Me)CON(Et)_2$	H	3-Cl	$2-Me-4-CF(CF_3)_2$	
II-5	$CH(Me)CH_2CONHEt$	H	3-Cl	$2-Me-4-CF(CF_3)_2$	

Table 3 (R^2=R^3=H, Z^1=Z^2=O)

No.	$-A^1-B-R^1$	Q^1	Q^2	Q^3	Q^4	Q^5	Ym	Physical Property
III-1	CH(Me)CONHMe	C-I	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	
III-2	CH(Me)CON$(Me)_2$	C-I	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	
III-3	C$(Me)_2$CH=NOH	C-I	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	192
III-4	C$(Me)_2$CH=NOMe	CH	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	
III-5	C$(Me)_2$CH=NOMe	C-I	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	198
III-6	CH(Me)CONHEt	CH	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	220
III-7	CH(Me)CON$(Et)_2$	CH	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	
III-8	CH(Me)C(=O)H	CH	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	
III-9	CH(Me)CH=NOH	CH	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	101
III-10	CH(Me)CH=NOMe	CH	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	105
III-11	CH(Me)CH=NOMe	C-I	CH	CH	CH	N	2-Me-6-$OCF(CF_3)_2$	160
III-12	CH(Me)CONHEt	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-13	CH(Me)CON$(Et)_2$	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-14	C$(Me)_2$CH=NOH	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	208
III-15	C$(Me)_2$CH=NOMe	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	162
III-16	C$(Me)_2$CH=NOMe	C-I	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-17	CH(Me)CONHEt	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-18	CH(Me)CON$(Et)_2$	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-19	CH(Me)C(=O)H	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-20	CH(Me)CH=NOH	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-21	CH(Me)CH=NOMe	CH	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-22	CH(Me)CH=NOMe	C-I	CH	CH	CH	N	2-Me-6-$CF(CF_3)_2$	
III-23	CH(Me)CONHEt	N	CH	CH	CH	CH	2-Me-4-$CF(CF_3)_2$	
III-24	CH(Me)CH=NOMe	N	CH	CH	CH	CH	2-Me-4-$CF(CF_3)_2$	

Table 3 (cont'd)

No.	$-A^1-B-R^1$	Q^1	Q^2	Q^3	Q^4	Q^5	Ym	Physical Property
III-25	$CH(Me)CON(Et)_2$	CH	N	CH	CH	CH	$2-Me-4-CF(CF_3)_2$	
III-26	$CH(Me)CH=NOMe$	CH	N	CH	CH	CH	$2-Me-4-CF(CF_3)_2$	180
III-27	$CH(Me)CONHEt$	CH	CH	N	CH	CH	$2-Me-4-CF(CF_3)_2$	
III-28	$CH(Me)CH=NOMe$	CH	CH	N	CH	CH	$2-Me-4-CF(CF_3)_2$	
III-29	$CH(Me)CON(Et)_2$	CH	CH	CH	N	CH	$2-Me-4-CF(CF_3)_2$	
III-30	$CH(Me)CH=NOMe$	CH	CH	CH	N	CH	$2-Me-4-CF(CF_3)_2$	153
III-31	$CH(Me)CH=NOMe$	N	CH	N	CH	CH	$2-Me-4-CF(CF_3)_2$	
III-32	$CH(Me)CH=NOMe$	CH	N	CH	N	CH	$2-Me-4-CF(CF_3)_2$	
III-33	$CH(Me)CON(Et)_2$	CH	CH	N	CH	N	$2-Me-6-OCF(CF_3)_2$	
III-34	$CH(Me)CH=NOMe$	CH	CH	N	CH	N	$2-Me-6-OCF(CF_3)_2$	
III-35	$CH(Me)CON(Et)_2$	CH	CH	N	CH	N	$2-Me-6-CF(CF_3)_2$	
III-36	$CH(Me)CH=NOMe_2$	CH	CH	N	CH	N	$2-Me-6-CF(CF_3)_2$	

Note: In the Table 3, when Q^5 represents nitrogen atom, then said nitrogen atom is 1-position and the substituted position of Ym is determined thereby.

The agrohorticultural composition, particularly, agrohorticultural insecticides containing the aromatic diamide derivative represented by the formula (I) or salt thereof of the present invention as an active ingredient are suitable for controlling various insect pests such as agrohorticultural insect pests, stored grain insect pests, sanitary insect pests, nematodes, etc., which are injurious to paddy rice, fruit trees, vegetables, other crops, flowers, ornamental plants, etc. They have a marked insecticidal effect, for example, on LEPIDOPTERA including summer fruit tortrix (Adoxophes orana fasciata), smaller tea tortrix (Adoxophyes sp.), Manchurian fruit moth (Grapholita inopinata), oriental fruit moth (Grapholita molesta), soybean pod border (Leguminovora glycinivorella), mulberry leafroller (Olethreutes mori), tea leafroller (Caloptilia thevivora), Caloptilia sp. (Caloptilia zachrysa), apple leafminer (Phyllonorycter ringoniella), pear barkminer (Spulerrina astaurota), common white (Piers rapae crucivora), tobacco budworm (Heliothis sp.), codling moth (Laspey resia pomonella), diamondback moth (Plutella xylostella), apple fruit moth (Argyresthia conjugella), peach fruit moth (Carposina niponensis), rice stem borer (Chilo suppressalis), rice leafroller (Cnaphalocrocis medinalis), tobacco moth (Ephestia elutella), mulberry pyralid (Glyphodes pyloalis), yellow rice borer (Scirpophaga incertulas), rice

skipper (Parnara guttata), rice armyworm (Pseudaletia separata), pink borer (Sesamia inferens), common cutworm (Spodoptera litura), beet armyworm (Spodoptera exigua), etc.; HEMIPTERA including aster leafhopper (Macrosteles fascifrons), green rice leafhopper (Nephotettix cincticepts), brown rice planthopper (Nilaparvata lugens), whitebacked rice planthopper (Sogatella furcifera), citrus psylla (Diaphorina citri), grape whitefly (Aleurolibus taonabae), sweetpotato whitefly (Bemisia tabaci), greenhouse whitefly (Trialeurodes vaporariorum), turnup aphid (Lipaphis erysimi), green peach aphid (Myzus persicae), Indian wax scale (Ceroplastes ceriferus), cottony citrus scale (Pulvinaria aurantii), camphor scale (Pseudaonidia duplex), san Jose scale (Comstockaspis perniciosa), arrowhead scale (Unapsis yanonensis), etc.; TYLENCHIDA including soybean beetle (Anomala rufocuprea), Japanese beetle (Popillia japonica), tobacco beetle (Lasioderma serricorne), powderpost beetle (Lyctus brunneus), twenty-eight-spotted ladybird (Epilachna vigintiotopunctata), azuki bean weevil (Callosobruchus chinensis), vegetable weevil (Listroderes costirostris), maize weevil (Sitophilus zeamais), boll weevil (Anthonomus gradis gradis), rice water weevil (Lissorhoptrus oryzophilus), cucurbit leaf beetle (Aulacophora femoralis), rice leaf beetle (Oulema oryzae), striped flea beetle (Phyllotreta striolata), pine shoot beetle (Tomicus piniperda),

Colorado potato beetle (Leptinotarsa decemlineata), Mexican bean beetle (Epilachna varivestis), corn rootworm (Diabrotica sp.), etc.; DIPTERA including (Dacus(Zeugodacus) cucurbitae), oriental fruit fly (Dacus(Bactrocera) dorsalis), rice leafminer (Agnomyza oryzae), onion maggot (Delia antiqua), seedcorn maggot (Delia platura), soybean pod gall midge (Asphondylia sp.), muscid fly (Musca domestica), house mosquito (Culex pipiens pipiens), etc.; and TYLENCHIDA including root-lesion nematode (Pratylenchus sp.), coffee root-lesion nematode (Pratylenchus coffeae), potato cyst nematode (Globodera rostochiensis), root-knot nematode (Meloidogyne sp.), citrus nematode (Tylenchulus semipenetrans), Aphelenchus sp. (Aphelenchus avenae), chrysanthemum foliar (Aphelenchoides ritzemabosi), etc.

The agrohorticultural composition, particularly, agrohorticultural insecticides containing the aromatic diamide derivative represented by formula (I) or salt thereof of the present invention has a marked controlling effect on the above-exemplified insect pests, sanitary pests and/or nematodes, which are injurious to paddy field crops, upland crops, fruit trees, vegetables and other crops, flowers and ornament plants, and the like. Therefore, the desired effect of the agrohorticultural insecticide of the present invention can be exhibited by applying the insecticide to the paddy field water, stalks and leaves or soil of paddy field, upland field, fruit trees, vegetables,

other crops or flowers and ornament plants at a season at which the insect pests, sanitary pests or nematodes are expected to appear, before their appearance or at the time when their appearance is confirmed.

In general, the agrohorticultural composition of the present invention is used after being prepared into conveniently usable forms according to ordinary manner for preparation of agrochemicals.

That is, the aromatic diamide derivative of formula (I) or salt thereof and an appropriate carrier are blended optionally together with an adjuvant in a proper proportion and prepared into a suitable preparation form such as suspension, emulsifiable concentrate, soluble concentrate, wettable powder, granules, dust or tablets through dissolution, separation, suspension, mixing, impregnation, adsorption or sticking.

The inert carrier used in the present invention may be either solid or liquid. As the solid carrier, soybean flour, cereal flour, wood flour, bark flour, saw dust, powdered tobacco stalks, powdered walnut shells, bran, powdered cellulose, extraction residues of vegetables, powdered synthetic polymers or resins, clay (e.g. kaolin, bentonite and acid clay), talc (e.g. talc and pyrophyllite), silica materials (e.g. diatomaceous earth, siliceous sand, mica, white carbon, i.e. synthetic high-dispersion silicic acid, also called finely divided hydrated silica or hydrated

silicic acid, some of the commercially available products contain calcium silicate as the major component), activated carbon, powdered sulfur, pumice, calcined diatomaceous earth, ground brick, fly ash, sand, calcium carbonate, calcium phosphate and other inorganic or mineral powders, chemical fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate, urea, ammonium chloride and the like, and compost. These carriers may be used either alone or as a mixture of two or more carriers.

The liquid carrier is that which itself has a solubility or which is without such solubility but is capable of dispersing an active ingredient with the aid of an adjuvant. The following are typical examples of the liquid carrier and can be used alone or as a mixture thereof. Water; alcohols such as methanol, ethanol, isopropanol, butanol and ethylene glycol; ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone, diisobutyl ketone and cyclohexanone; ethers such as ethyl ether, dioxane, cellosolve, dipropyl ether and tetrahydrofuran; aliphatic hydrocarbons such as kerosene and mineral oil; aromatic hydrocarbons such as benzene, toluene, xylene, solvent naphtha and alkylnaphthalene; halogenated hydrocarbons such as dichlorethane, chloroform, carbon tetrachloride and chlorobenzene; esters such as ethyl acetate, diisopropyl phthalate, dibutyl phthalate and dioctyl phthalate; amides such as dimethylformamide,

diethylformamide and dimethylacetamide; nitriles such as acetonitrile; and dimethyl sulfoxide.

The following are typical examples of the adjuvant, which are used depending upon purposes and used alone or in combination of two or more adjuvants in some cases, or need not to be used at all.

To emulsify, disperse, dissolve and/or wet an active ingredient, a surfactant is used. As the surfactant, there can be exemplified polyoxyethylene alkyl ethers, polyoxyethylene alkylaryl ethers, polyoxyethylene higher fatty acid esters, polyoxyethylene resinates, polyoxyethylene sorbitan monolaurate, polyoxyethylene sorbitan monooleate, alkylarylsulfonates, naphthalene-sulfonic acid condensation products, ligninsulfonates and higher alcohol sulfate esters.

Further, to stabilize the dispersion of an active ingredient, tackify it and/or bind it, there may be used adjuvants such as casein, gelatin, starch, methyl cellulose, carboxymethyl cellulose, gum arabic, polyvinyl alcohols, turpentine, bran oil, bentonite and ligninsulfonates.

To improve the flowability of a solid product, there may be used adjuvants such as waxes, stearates and alkyl phosphates.

Adjuvants such as naphthalenesulfonic acid condensation products and polycondensates of phosphates may be used as a peptizer for dispersible products.

Adjuvants such as silicone oil may also be used as a defoaming agent.

The content of the active ingredient may be varied according to the need. For example, in dusts or granules, the suitable content thereof is from 0.01 to 50% by weight. In emulsifiable concentrate and flowable wettable powder, too, the suitable content is from 0.01 to 50% by weight.

The agrohorticultural composition, particularly agrohorticultural insecticide of the present invention is used to control a variety of insect pests in the following manner. That is, it is applied to a crop on which the insect pests are expected to appear or a site where appearance of the insect pests is undesirable, as it is or after being properly diluted with or suspended in water or the like, in an amount effective for control of the insect pests.

The agrohorticultural composition, particularly the agrohorticultural insecticide of the present invention can also be used to, for example, seeds of plants to be protected from pests, or to cultivation carriers in which the above seeds are to be sown (e.g. sowing soil, nursery mat, water, etc.); and can be used by a method such as application to rice nursery bed, seed dressing, seed disinfection or the like. When applied to pests which verminate in upland crops such as fruit trees, grains, vegetables and the

like, it can be used by seed treatments such as dressing, soaking and the like, or by drenching or surface spraying/watering to, for example, seedling-raising carriers such as cultivation vessel, planting hole and the like to allow the crops to absorb the present insecticide, or by application to water culture solution for water culture.

The applying dosage of the agrohorticultural composition of the present invention is varied depending upon various factors such as a purpose, insect pests to be controlled, a growth state of a plant, tendency of insect pests appearance, weather, environmental conditions, a preparation form, an application method, an application site and an application time. It may be properly chosen in a range of 0.1 g to 10 kg (in terms of active ingredient compound) per 10 ares depending upon purposes.

The agrohorticultural composition of the present invention may be used in admixture with other agricultural and horticultural disease or pest controllers in order to expand both spectrum of controllable diseases and insect pest species and the period of time when effective applications are possible or to reduce the dosage.

Next, typical examples and test examples of the invention are presented below. The present invention is by no means limited by these examples.

Examples

Representative examples of the present invention are shown below. However, the present invention is not restricted to these examples.

Example 1

(1-1) Production of 3-iodo-1-N-(4-heptafluoro-isopropyl-2-methylphenyl)-phthalamic acid

A solution of 3.5 g of 4-heptafluoro-isopropyl-2-methylaniline dissolved in 3 ml of acetonitrile was dropwise added slowly to a suspension of 3.5 g of 3-iodophthalic anhydride suspended in 30 ml of acetonitrile, with ice-cooling. After the completion of the dropwise addition, a reaction was conducted for 3 hours at room temperature, with stirring. After the completion of the reaction, the precipitated crystals were collected by filtration and washed with a small amount of acetonitrile to obtain 4.0 g of an intended compound.

Physical property: melting point = 174-181°C

Yield: 57%

(1-2) Production of 3-iodo-N-(4-heptafluoroisopropyl-2-methylphenyl)phthalisoimide

1.1 g of trifluoroacetic anhydride was added to a suspension of 2.0 g of 3-iodo-1-N-(4-hepta-fluoroisopropyl-2-methylphenyl)-phthalamic acid suspended in 10 ml of toluene. A reaction was conducted at room temperature for 30 minutes, with

stirring. After the completion of the reaction, the solvent was removed by vacuum distillation to obtain 2.0 g of a crude intended compound. The compound was used in the next reaction without being purified.

^{1}H-NMR [$CDCl_3$/TMS, δ (ppm)]

2.4 (3H,s), 7.3 (1H,d), 7.4 (2H,m), 7.5 (1H,t), 8.1 (1H,d), 8.2 (1H,d)

(1-3) Production of 3-iodo-N^1-(4-heptafluoroisopropyl-2-methylphenyl)-N^2-[1-methyl-2-(N,N-dimethylcarbamoyl)-ethyl]phthalamide (compound No. 77)

1.0 g of 3-iodo-N-(4-heptafluoroisopropyl-2-methylphenyl)phthalisoimide was dissolved in 10 ml of acetonitrile. To the resulting solution were added 0.35 g of 3-amino-N,N-dimethylbutyramide hydrochloride and 0.21 g of triethylamine. The resulting mixture was stirred at room temperature for 10 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into ice water, followed by extraction with ethyl acetate. The organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The solvent was removed by vacuum distillation, and the resulting residue was purified by silica gel column chromatography to obtain 0.4 g of an intended product.

Physical property: melting point = 126°C

Yield: 32%

Example 2 Production of 3-iodo-N^1-(4-heptafluoro-isopropyl-2-methylphenyl)-N^2-[1-methyl-2-(methoxyimino)-ethyl]phthalamide (compound No. 136)

0.9 g of 3-iodo-N-(4-heptafluoroisopropyl-2-methylphenyl)phthalisoimide was dissolved in 10 ml of acetonitrile. To the resulting solution were added 0.34 g of 1-methyl-2-(methoxyimino)ethylamine hydrochloride and 0.25 g of triethylamine. The resulting mixture was stirred at room temperature for 10 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into ice water, followed by extraction with ethyl acetate. The organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The solvent was removed by vacuum distillation, and the resulting residue was purified by silica gel column chromatography to obtain 0.36 g of an intended product.

Physical property: melting point = 192°C

Yield: 36%

Example 3

(3-1) Production of 3-iodo-2-N-[1-methyl-2-(ethoxycarbonyl)ethyl]-phthalamic acid

A solution of 1.4 g of ethyl 3-aminobutyrate dissolved in 3 ml of acetonitrile was dropwise added slowly to a suspension of 2.7 g of 3-iodophthalic anhydride suspended in 30 ml of acetonitrile, with ice-

cooling. After the completion of the dropwise addition, a reaction was conducted for 3 hours at room temperature, with stirring. After the completion of the reaction, the precipitated crystals were collected by filtration and washed with a small amount of acetonitrile to obtain 3.8 g of an intended compound.

Yield: 97%

(3-2) Production of 6-iodo-N-[1-methyl-2-(ethoxycarbonyl)ethyl]phthalisoimide

1.1 g of trifluoroacetic anhydride was added to a suspension of 1.0 g of 3-iodo-2-N-[1-methyl-2-(ethoxycarbonyl)ethyl]phthalamic acid suspended in 10 ml of toluene. A reaction was conducted at room temperature for 30 minutes, with stirring. After the completion of the reaction, the solvent was removed by vacuum distillation to obtain 0.9 g of a crude intended compound. The compound was used in the next reaction without being purified.

(3-3) Production of 3-iodo-N^1-(4-heptafluoroisopropyl-2-methylphenyl)-N^2-[1-methyl-2-(ethoxycarbonyl)-ethyl]phthalamide (compound No. 11)

0.90 g of 6-iodo-N-[1-methyl-2-(ethoxycarbonyl)ethyl]phthalisoimide was dissolved in 10 ml of acetonitrile. To the resulting solution were added 0.5 g of 4-heptafluoroisopropyl-2-methylaniline and two drops of trifluoroacetic acid. The resulting mixture was stirred at room temperature for 10 hours to give rise to a reaction. After the completion of the

reaction, the reaction mixture was poured into ice water, followed by extraction with ethyl acetate. The organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The solvent was removed by vacuum distillation, and the resulting residue was purified by silica gel column chromatography to obtain 0.50 g of an intended product.

Physical property: paste-like

Yield: 31%

^{1}H-NMR [$CDCl_3$/TMS, δ (ppm)]

1.1-1.4 (5H,m), 2.4 (3H,s), 2.5-2.6 (2H,m), 4.1 (2H,q), 4.4-4.5 (1H,m), 6.8 (1H,d), 7.2 (1H,t), 7.4-7.5 (2H,m), 7.8 (1H,d), 7.9 (1H,d), 8.3 (1H,d), 8.5 (1H,s)

Example 4 Production of 3-iodo-N^1-(4-heptafluoro-isopropyl-2-methylphenyl)-N^2-(3-oxobutan-2-yl)-phthalamide (compound No. 134)

1.5 g of 3-iodo-N-(4-heptafluoroisopropyl-2-methylphenyl)phthalisoimide was dissolved in 10 ml of acetonitrile. To the resulting solution were added 0.35 g of 3-aminobutanone hydrochloride and 0.29 g of triethylamine. The resulting mixture was stirred at room temperature for 10 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into ice water, followed by extraction with ethyl acetate. The organic layer was

washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The solvent was removed by vacuum distillation, and the resulting residue was purified by silica gel column chromatography to obtain 0.70 g of an intended product.

Physical property: melting point = 189°C

Yield: 41%

Next, typical formulation examples and test examples of the invention are presented below. The present invention is by no means limited by these examples.

In the formulation examples, the term "parts" means "parts by weight".

Formulation Example 1

Each compound listed in Tables 1 to 3	50 parts
Xylene	40 parts
Mixture of polyoxyethylene nonylphenyl ether and calcium alkylbenzenesulfonate	10 parts

An emulsifiable concentrate was prepared by mixing uniformly the above ingredients to effect dissolution.

Formulation Example 2

Each compound listed in Tables 1 to 3	3 parts
Clay powder	82 parts
Diatomaceous earth powder	15 parts

A dust was prepared by mixing uniformly and

grinding the above ingredients.

Formulation Example 3

Each compound listed in Tables 1 to 3	5 parts
Mixed powder of bentonite and clay	90 parts
Calcium ligninsulfonate	5 parts

Granules were prepared by mixing the above ingredients uniformly, and kneading the resulting mixture together with a suitable amount of water, followed by granulation and drying.

Formulation Example 4

Each compound listed in Tables 1 to 3	20 parts
Mixture of kaolin and synthetic high-dispersion silicic acid	75 parts
Mixture of polyoxyethylene nonylphenyl ether and calcium alkylbenzenesulfonate	5 parts

A wettable powder was prepared by mixing uniformly and grinding the above ingredients.

Formulation Example 5

Each compound listed in Tables 1 to 3	20 parts
Sodium alkylnaphthalenesulfonate	3 parts
Propylene glycol	5 parts
Dimethylpolysiloxane	0.25 part
p-Chloro-m-xylenol	0.10 part
Xanthan gum	0.30 part
Water	71.35 part

A wettable powder or wettable suspension was prepared by mixing uniformly and wet-grinding the above ingredients.

Test Example 1: Insecticidal effect on diamond back moth (Plutella xylostella)

Adult diamond back moths were released and allowed to oviposit on a Chinese cabbage seedling. Two days after the release, the seedling having the eggs deposited thereon was immersed for about 30 seconds in a liquid chemical prepared by diluting a preparation containing each compound listed in Tables 1 to 3 as an active ingredient to adjust the concentration to 50 ppm. After air-dryness, it was allowed to stand in a room thermostatted at 25℃. Six days after the immersion, the hatched insects were counted. The mortality was calculated according to the following equation and the insecticidal effect was judged according to the criterion shown below. The test was carried out with triplicate groups of 10 insects.

$$\text{Corrected mortality}(\%) = \frac{\text{Number of hatched insects in untreated group} - \text{Number of hatched insects in treated group}}{\text{Number of hatched insects in untreated group}} \times 100$$

Criterion:

A --- Mortality 100%

B --- Mortality 99-90%

C --- Mortality 89-80%

D --- Mortality 79-50%

Test Example 2: Insecticidal effect on Common cutworm (Spodoptera litura)

A piece of cabbage leaf (cultivar; Shikidori) was immersed for about 30 seconds in a liquid chemical prepared by diluting a preparation containing each compound listed in Tables 1 to 3 as an active ingredient to adjust the concentration to 500 ppm. After air-dryness, it was placed in a plastic Petri dish with a diameter of 9 cm and inoculated with second-instar larvae of common cutworm, after which the dish was closed and then allowed to stand in a room thermostatted at 25℃. Eight days after the inoculation, the dead and alive were counted. The mortality was calculated according to the following equation and the insecticidal effect was judged according to the criterion shown in Test Example 1. The test was carried out with triplicate groups of 10 insects.

$$\text{Corrected mortality}(\%) = \frac{\text{Number of alive larvae in untreated group} - \text{Number of alive larvae in treated group}}{\text{Number of alive larvae in untreated group}} \times 100$$

Test Example 3: Insecticidal effect on smaller tea tortrix (Adxophyes sp.)

Tea leaves were immersed for about 30 seconds in a liquid chemical prepared by diluting a preparation containing each compound listed in Tables 1 to 3 as an active ingredient to adjust the concentration to 50 ppm. After air-dryness, the tea leaves were placed in a plastic Petri dish with a diameter of 9 cm and inoculated with larvae of smaller tea tortrix, after which the dish was allowed to stand in a room thermostatted at 25℃ and having a humidity of 70%. Eight days after the inoculation, the dead and alive were counted and the insecticidal effect was judged according to the criterion shown in Test Example 1. The test was carried out with triplicate groups of 10 insects.

In the test mentioned above, the compounds which exhibited an activity ranking B or higher against diamond back moth (Plutella xylostella) were as follows:

2~11, 70~78, 134, 136~141, 177, 204, 205, 207, 215~219, 226, 229, 230~237, 239, 241~296, 298, 302, 304, 306, 309, III-3, III-5, III-9~III-11, III-14, III-15, III-26 and III-30.

Further, the compounds which exhibited an activity ranking B or higher against Common cutworm (Spodoptera litura) were as follows:

11, 71~74, 77, 78, 136~140, 204, 205, 207, 216, 226, 246, 248, 256, 258, 260, 263, 265, 272, 275, 277~279, 284~286, 291, 292, 309, III-3, III-5 and III-11.

Furthermore, the compounds which exhibited an activity ranking B or higher against smaller tea tortrix (Adxophyes sp.) were as follows:

7, 11, 70~72, 74~78, 134, 136~140, 204, 205, 207, 216, 218, 219, 226, 246~250, 253, 254, 256, 258, 259, 263, 265, 266, 271~273, 275~279, 281, 283, 285, 286, 290, 291, 296, 298, 304, 309, III-3, III-5, III-10, III-11, III-15 and III-26.